

WEST Search History

09/903,359

DATE: Saturday, January 04, 2003

Set Name Query side by side

Hit Count Set Name result set

DB=USPT; PLUR=YES; OP=OR

L32	chromatin with dna with (bind\$4 or ligand) with (alter46 or open\$4 or modif\$8)	9	L32
L31	L30 with polyamide	0	L31
L30	chromatin with dna with (bind\$4 or ligand)	131	L30
L29	L28 with bind\$4	17	L29
L28	chromatin with alter\$6 with structur\$4	78	L28
L27	transcription with chromatin with response	1	L27
L26	chromatin with response with bind\$5	2	L26
L25	L24 with chromatin	0	L25
L24	L23 with ligand	25	L24
L23	L22 with bind\$4	604	L23
L22	L21 with (modif\$4 or alter\$4)	61081	L22
L21	L20 with response ad2 element	1320464	L21
L20	transcription with chromatin	202	L20
L19	chromatin with response with bind\$5	2	L19
L18	chromatin adj2 responsive adj2 element	0	L18
L17	chromatin adj1 responsive adj1 element	0	L17
L16	chromatin adj responsive adj element	0	L16
L15	chromatin adj response adj element	0	L15

DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=OR

L14	L9 with chromatin adj respons\$4 adj element	0	L14
L13	L9 with (polyamide or pseudopeptide)	0	L13
L12	L11 not l10	10	L12
L11	L9 with (alter\$6 or chang\$5)	10	L11
L10	L9 with (modif\$8 or mudulat\$6)	4	L10
L9	chromosome with dna with bind\$4	408	L9
L8	L7 not l4	1	L8
L7	L3 with bind\$4	4	L7
L6	L5 not l4	0	L6
L5	L3 with binding adj site	2	L5
L4	L3 with dna with bind\$4	3	L4
L3	chromosome with function with (modif\$6 or modulatl\$4)	36	L3

L2	L1 with (polyamide or pseudopeptide)	26	L2
L1	dna with bind\$4 with minor adj groove	372	L1

END OF SEARCH HISTORY

WEST

Generate Collection

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L32: Entry 4 of 9

File: USPT

Sep 3, 2002

DOCUMENT-IDENTIFIER: US 6444421 B1

TITLE: Methods for detecting intermolecular interactions in vivo and in vitro

Detailed Description Text (99):

Common guide domains include transcription factors (activators), silencers, nuclear receptors, general transcription machinery and modifiers of these factors, oncogenes (e.g., myc, jun, fos, myb, max, mad, rel, ets, bcl, myb, mos family members etc.), tumor promoters, metastasis and invasiveness promoters or suppressors and their associated factors and modifiers; tumor suppressors (e.g. p53, WT1, MDM2, Rb family) and their associated factors and modifiers; DNA repair enzymes and their associated factors and modifiers; DNA rearrangement enzymes and their associated factors and modifiers; cell cycle proteins and their associated factors and modifiers; chromatin associated proteins and their modifiers (e.g., kinases, acetylases and deacetylases); DNA modifying enzymes (e.g., methyltransferases, topoisomerases, helicases, ligases, kinases, phosphatases, polymerases) and their associated factors and modifiers; RNA modifying enzymes and their associated factors and modifiers, RNA binding factors (directly or indirectly) and their associated factors and modifiers, factors that control chromatin, DNA, RNA and RNP (ribonuclear protein) structure, movement and localization and their associated factors and modifiers; factors derived from microbes (e.g., prokaryotes, eukaryotes and virus) and factors that associate with or modify them.

WEST**End of Result Set**☐

Generate Collection

Print

L29: Entry 17 of 17

File: USPT

Dec 10, 1996

DOCUMENT-IDENTIFIER: US 5583009 A

TITLE: Method of preparing recombinant proteins in transgenic animals containing metallothionein gene elements that bestow tissue-independent copy number-dependent, position-independent gene expression

Brief Summary Text (17):

In a chromosome, the genetic material is packaged into a DNA/protein complex called chromatin which has the effect of limiting the availability of DNA for functional purposes. It has been established that many gene systems possess so-called DNA hypersensitive sites. Such sites representative putative regulatory regions, where the normal chromatin structure is altered by binding of proteins to specific DNA sequences. For example, DNaseI hypersensitive sites are often associated with the promoter and enhancer regions of active genes. The presence of DNaseI hypersensitive sites (in the vicinity of genes) that are not directly related to gene expression, suggests that they may mark the location of other important chromosomal functions, perhaps boundaries of chromosomal domains, origins of replication, or sites of attachment to nuclear matrix.

WEST

Generate Collection

Print

L29: Entry 4 of 17

File: USPT

Apr 10, 2001

DOCUMENT-IDENTIFIER: US 6214588 B1

TITLE: Factors which modify gene transcription and methods of use therefor

Detailed Description Text (107):

Regulation of class II genes involves a complex interplay among gene-specific activators and cofactors, the general transcription apparatus, and chromatin. Gene specific activities bind to promote and stimulate transcription, at least in part, by binding and recruiting the general transcription apparatus. Chromatin structure can affect the transcriptional activity of genes by blocking access of the transcription apparatus to promoters. The SWI and SNF regulatory proteins are global regulators that function by antagonizing repression mediated by nucleosomes, altering chromatin structure to facilitate binding of the transcription apparatus.

WEST

Generate Collection

Print

L29: Entry 7 of 17

File: USPT

Nov 21, 2000

DOCUMENT-IDENTIFIER: US 6150110 A

TITLE: HMGI(Y)-LAMA4* fusion oncogene, oncoprotein and methods of use

Brief Summary Text (4):

HMGI(Y) is a member of the high mobility group protein family which are alternative splicing products of the HMGI(Y) gene. HMGI(Y) encodes two proteins, resulting from alternative splicing, that bind AT-rich regions in the minor groove of DNA via amino acid A-T hook domains and thus participate in regulation of chromatin structure and gene expression. HMGI(Y) binds to DNA at many different chromosomal locations and, in so doing, changes the conformation (angle of bending) of the DNA. The DNA conformational alterations then enable adjacent transcription factors to function efficiently in gene regulation. HMGI(Y) proteins also regulate gene expression through direct physical interactions with transcription factors binding the DNA major groove.

WEST

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L29: Entry 13 of 17

File: USPT

Mar 30, 1999

DOCUMENT-IDENTIFIER: US 5888809 A

TITLE: Hamster EF-1.alpha. transcriptional regulatory DNA

Brief Summary Text (3):

Presumably due to the vast array of gene sequences transcribed by RNA polymerase II and the fact that the regulation patterns for these genes are highly variable within the same cell and from cell to cell, transcription by RNA polymerase II is affected by binding of numerous transcription factors in the initiation complex in addition to the interactions of other binding proteins to regulatory DNA sequences other than the promoter. These other binding proteins can serve to activate transcription beyond a basal level or repress transcription altogether. Repressor binding can also be viewed as a means to prevent activation in view of observations that basal transcriptional in higher eukaryotes is normally very low. Activation, on the other hand, is ordinarily the end response to some physiological signal and requires either removal of repressor binding proteins or alteration in chromatin structure in order to permit formation of an active transcription initiation complex.

WEST[Generate Collection](#)[Print](#)**Search Results - Record(s) 21 through 26 of 26 returned.**☒ 21. Document ID: JP 2002524525 W WO 200015242 A1 AU 9964965 A EP 1112080 A1

L2: Entry 21 of 26

File: DWPI

Aug 6, 2002

DERWENT-ACC-NO: 2000-271249

DERWENT-WEEK: 200266

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TITLE: Composition comprising a polyamide which inhibits oncogene transcription consisting of carboxamide residues, aliphatic amino acids and alkylamino residues, useful for treating cancer

INVENTOR: DERVAN, P B

PRIORITY-DATA: 1998US-099906P (September 11, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 2002524525 W	August 6, 2002		039	A61K038/00
WO 200015242 A1	March 23, 2000	E	034	A61K038/00
AU 9964965 A	April 3, 2000		000	A61K038/00
EP 1112080 A1	July 4, 2001	E	000	A61K038/00

INT-CL (IPC): A61 K 38/00; A61 K 38/04; A61 K 38/08; A61 P 35/00; A61 P 43/00; C12 N 5/00; C12 N 5/06; C12 N 15/09; C12 Q 1/68; G01 N 33/15; G01 N 33/50; G01 N 33/566

ABSTRACTED-PUB-NO: WO 200015242A

BASIC-ABSTRACT:

NOVELTY - A composition (I) comprising a polyamide which inhibits oncogene transcription consisting of at least 4 complementary pairs of aromatic carboxamide residues, at least 2 aliphatic amino acid residues and at least 1 alkylamino residue, is new.

DETAILED DESCRIPTION - (I) comprises a polyamide consisting of:

- (a) at least 4 complementary pairs of aromatic carboxamide residues selected to correspond to the nucleotide sequence of a dsDNA target;
- (b) at least 2 aliphatic amino acid residues selected from glycine, beta -alanine, gamma -aminobutyric acid and 5-aminovaleric acid; and
- (c) at least one terminal alkylamino residue.

ACTIVITY - Cytostatic.

Breast cancer cell lines were cultured followed by the addition of polyamides. For initial experiments, polyamide HER2-1 was added at various concentrations, polyamide HIV-1 was used as a control. HIV-1 was similar in structure to HER2-1 but did not specifically recognize the HER2/neu TATA box or its adjacent sequences. In subsequent experiments, the cell line SK-BR-3 was treated with polyamides for 6 days. In these experiments, polyamide HER2-1 and polyamide 70 in separate experiments were added to the cell culture medium for a final concentration of 0.5 micro M. After 3 days of incubation, fresh media and polyamide were added to the cells. Once the treated cells had grown to confluence, they were harvested, pelleted, rinsed and pelleted again.

Total RNA was extracted from cells using RNazol. The effects of polyamide addition were analyzed using reverse transcriptase-polymerase chain reaction (RT-PCR) as an assay for the relative level of HER2/neu mRNA. These HER2/neu levels should correlate with the amount of transcription from the HER2/neu promoter, allowing the determination of whether polyamide HER2-1 had any affect on transcription in vivo. Treatment of the cell lines SK-BR-3 and Hs 578-T with polyamide HER2-1 for 1-2 days resulted in a two-fold reduction in the relative levels of HER2/neu mRNA. The control polyamide HIV-1 had no apparent effect on the relative levels of HER2/neu mRNA. When SK-BR-3 cells were treated for 6 days with either polyamide HER2-1 or 70, the relative levels of mRNA decreased more significantly than for the 1-2 day treated cells. SK-BR-3 cells showed a 4-fold and 3-fold decrease in the relative levels of HER2/neu mRNA when treated with HER2-1 or 70, respectively.

MECHANISM OF ACTION - Inhibition of oncogene transcription and expression. The polyamide binds with the minor groove of double-stranded DNA (dsDNA) within the promoter region of a target gene.

USE - The composition is useful for treating cancer, especially breast cancer.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC
Draw	Desc	Image									

☐ 22. Document ID: JP 2002524501 W WO 200015209 A2 AU 9960341 A EP 1162961 A2

L2: Entry 22 of 26

File: DWPI

Aug 6, 2002

DERWENT-ACC-NO: 2000-271224

DERWENT-WEEK: 200266

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TITLE: Regulation of oncogene expression by synthetic polyamides, useful for the treatment of breast cancer

INVENTOR: DERVAN, P; GOTTESFELD, J M ; LONG, J J

PRIORITY-DATA: 1998US-099906P (September 11, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 2002524501 W	August 6, 2002		050	A61K031/785
WO 200015209 A2	March 23, 2000	E	042	A61K031/00
AU 9960341 A	April 3, 2000		000	A61K031/00
EP 1162961 A2	December 19, 2001	E	000	A61K031/00

INT-CL (IPC): A61 K 31/00; A61 K 31/785; A61 P 35/00

ABSTRACTED-PUB-NO: WO 200015209A

BASIC-ABSTRACT:

NOVELTY - A method (I) for regulation of oncogene expression using synthetic polyamides capable of binding the minor groove of double stranded (ds) DNA to inhibit gene expression, is new.

DETAILED DESCRIPTION - A method (I) of treating a subject suffering from a condition associated with over expression of an oncogene, comprises administering a composition containing at least 1 transcription-inhibiting polyamide. The polyamide comprises:

(1) at least 4 complementary pairs of aromatic carboxamide residues selected to correspond to the nucleotide sequence of a dsDNA target;

(2) at least 2 aliphatic amino residues (either glycine, beta -alanine, gamma -aminobutyric acid and/or 5-aminovaleric acid); and

(3) at least 1 terminal alkylamino residue.

USE - (I) may be used to regulate the expression of oncogenes implicated in the development of tumors and therefore may be used to treat cancers. In particular, it is used to down-regulate the expression of the tyrosine kinase membrane growth factor HER2/neu (also called p185HER2) which is encoded by an oncogene that is expressed and amplified in 20 - 30% of human breast cancers. Therefore (I) may be used to treat breast cancers (claimed).

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC
Draw Desc	Image										

☐ 23. Document ID: WO 9845284 A1 JP 2002514209 W AU 9867576 A EP 1023288 A1

L2: Entry 23 of 26

File: DWPI

Oct 15, 1998

DERWENT-ACC-NO: 1998-594477

DERWENT-WEEK: 200236

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TITLE: New hairpin polyamides including R-2,4-diaminobutyric acid residue in the hairpin - bind more tightly to complementary bases in the minor groove of DNA, particularly of regulatory regions for therapeutic or diagnostic inhibition of gene expression

INVENTOR: BAIRD, E E; DERVAN, P B

PRIORITY-DATA: 1997WO-US12722 (July 21, 1997), 1997WO-US03332 (February 20, 1997), 1997US-043444P (April 8, 1997), 1997US-042022P (April 16, 1997), 1997US-0837524 (April 21, 1997), 1997US-0853522 (May 8, 1997), 1997WO-US03327 (February 20, 1997)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 9845284 A1	October 15, 1998	E	079	C07D403/14
JP 2002514209 W	May 14, 2002		086	C07D403/14
AU 9867576 A	October 30, 1998		000	
EP 1023288 A1	August 2, 2000	E	000	C07D403/14

INT-CL (IPC): A61 K 31/415; A61 K 31/4164; A61 P 43/00; C07 D 207/34; C07 D 403/14; C12 Q 1/68

ABSTRACTED-PUB-NO: WO 9845284A

BASIC-ABSTRACT:

In a new polyamide (I) having a hairpin turn derived from gamma -aminobutyric acid (GABA) and which binds specifically to base pairs in the minor groove of DNA, the improvement is replacement of GABA in the hairpin by the residue of (R)-2,4-diaminobutyric acid (R-DAB).

USE - (I) are used to inhibit gene expression by sequence-specific binding to the double-stranded regulatory region of the gene. They can be used therapeutically or diagnostically, e.g. for detection or isolation of target DNA. (I) are administered orally, by injection or as spray. No dose is suggested.

ADVANTAGE - (I) that include R-DAB have tighter binding to the minor groove and include an amino function which can be derivatised, including attachment of tandem-linked (I) to provide longer binding sites without loss of affinity or selectivity. (I) may be designed to target any DNA sequence.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWC
Draw Desc	Image									

☐ 24. Document ID: US 6472537 B1 WO 9837066 A1 AU 9864334 A EP 968186 A1 AU 734715 B JP 2001513759 W

L2: Entry 24 of 26

File: DWPI

Oct 29, 2002

DERWENT-ACC-NO: 1998-480786

DERWENT-WEEK: 200274

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TITLE: New polyamide for targetting the minor groove of DNA includes 3-hydroxy-N-methylpyrrole - to allow differentiation between AT and TA pairs, improving affinity and specificity, used for modulating expression of target DNA, diagnosis and DNA separation

INVENTOR: BAIRD, E E; DERVAN, P B

PRIORITY-DATA: 1997WO-US12722 (July 21, 1997), 1997WO-US03332 (February 20, 1997), 1997US-043444P (April 8, 1997), 1997US-042022P (April 16, 1997), 1997US-0837524 (April 21, 1997), 1997US-0853522 (May 8, 1997)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 6472537 B1	October 29, 2002		000	C07D231/02
WO 9837066 A1	August 27, 1998	E	073	C07D207/34
AU 9864334 A	September 9, 1998		000	
EP 968186 A1	January 5, 2000	E	000	
AU 734715 B	June 21, 2001		000	C07D207/34
JP 2001513759 W	September 4, 2001		093	C07D207/34

INT-CL (IPC): A61 K 31/40; A61 K 31/415; A61 K 31/4178; A61 K 49/00; C07 D 207/34; C07 D 231/02; C07 D 233/04; C07 D 233/90; C07 D 403/02; C07 D 403/14; C07 H 19/00; C07 H 21/02; C12 Q 1/68

ABSTRACTED-PUB-NO: WO 9837066A

BASIC-ABSTRACT:

A polyamide (I), having at least 3 consecutive carboxamide pairs for binding to at least 3 DNA base pairs (bp) in the minor groove of a duplex, including at least one AT or TA pair, is improved by using a Hp/Py or Py/Py carboxamide pair to correspond to TA or AT in the groove. Hp = 3-hydroxy-N-methylpyrrole; Py = N-methylpyrrole. Also new are polyamides of formula (Ia) X1X2X3X4-c-X5X6X7X8 c = NH(CH2)3-CONH hairpin derived from gamma-aminobutyric acid or a chiral hairpin from R-2,4-diaminobutyric acid; X1/X8, X2/X7, X3/X6 and X4/X5 = carboxamide binding pairs, including at least one Py/Py or Hp/Py, the others being Py/Im or Im/Py, corresponding to bp in a minor groove; Im = N-methylimidazole.

USE - (I) bind specifically to DNA so are useful for therapeutic modulation of DNA expression, for diagnosis and for DNA isolation.

ADVANTAGE - Hp/Py and Py/Py pairs can discriminate between AT and TA (Py/Py pairs can not), so improve affinity and specificity by an order of magnitude, and allow polyamides to be produced that differentiate between all possible Watson-Crick pairs.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Drawn Desc	Image								

KMIC

☐ 25. Document ID: WO 9837087 A1 AU 747668 B AU 9861588 A EP 973798 A1 CN 1260006 A MX 9806945 A1 JP 2002514205 W

L2: Entry 25 of 26

File: DWPI

Aug 27, 1998

DERWENT-ACC-NO: 1998-467489
DERWENT-WEEK: 200244
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TITLE: Polyamide containing positive patch allowing for binding to minor groove of DNA
- used for inhibiting gene expression

INVENTOR: BAIRD, E E; DERVAN, P B

PRIORITY-DATA: 1997WO-US12722 (July 21, 1997), 1997WO-US03332 (February 20, 1997),
1997US-043444P (April 8, 1997), 1997US-042022P (April 16, 1997), 1997US-0837524 (April
21, 1997), 1997US-0853522 (May 8, 1997), 1996US-0607078 (February 26, 1996)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 9837087 A1	August 27, 1998	E	074	C07K007/02
AU 747668 B	May 16, 2002		000	C07K007/02
AU 9861588 A	September 9, 1998		000	
EP 973798 A1	January 26, 2000	E	000	
CN 1260006 A	July 12, 2000		000	C12Q001/68
MX 9806945 A1	February 1, 1999		000	C07D207/34
JP 2002514205 W	May 14, 2002		068	C07K007/02

INT-CL (IPC): A61 K 31/415; A61 K 38/00; A61 K 38/04; A61 K 41/00; C07 D 207/34; C07 D
403/12; C07 H 21/02; C07 H 21/04; C07 K 7/02; C12 N 15/09; C12 P 19/34; C12 Q 1/68;
C12 Q 1/70

ABSTRACTED-PUB-NO: WO 9837087A
BASIC-ABSTRACT:

An improvement in a polyamide which specifically binds to base pairs in the minor groove of a DNA molecule, comprising a positive patch consisting of a rigid group adjacent to a positively charged group such that a positive charge is delivered to the phosphate groove of a DNA molecule, is new. Also claimed are: (1) a tandem linked polyamide having the formula: X1X2X3 gamma (AX6X5X4)LX'6X'5X'4 gamma (X'1X'2X'3)P where gamma is -NH-CH2-CH2CH2-CONH- hairpin linkage derived from gamma -aminobutyric acid or a chiral hairpin linkage derived from R-2,4-diaminobutyric acid; X1/X6, X2/X5, X3/X4, X'1/X'6, X'2/X'5, and X'3/X'4 represent carboxamide binding pairs which bind DNA base pairs and are selected from the group consisting of Hp/Py, Py/Hp, Py/Im, Im/Py, and Py/Py to correspond to the DNA base pair in the minor groove to be bound; L represents an amino acid linking group selected from -alanine and 5-aminovaleric acid (delta); P represents a polyamide selected from X1X2X3 gamma X4X5X6, X1X2X3 gamma X4X5X6X7X8; X1X2X3 gamma X4X5X6X7X8X9X10; and X1X2X3 gamma X4X5X6X7X8X9X10X11X12, where X1-X12 are independently selected from -alanine, pyrrole, hydroxypyrole and imidazole; and A represents a positive patch consisting of a rigid group adjacent to a charged group such that a positive charge is delivered to the phosphate backbone or major groove of a DNA molecule.

USE - The polyamides can be used in a method for inhibiting gene expression (claimed).

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KWIC

☐ 26. Document ID: WO 9835702 A1 AU 749953 B AU 9861517 A EP 964703 A1 US
5998140 A US 6143901 A US 6303312 B1 JP 2002515057 W

L2: Entry 26 of 26

File: DWPI

Aug 20, 1998

DERWENT-ACC-NO: 1998-506287
DERWENT-WEEK: 200255

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TITLE: Modulating expression of genes with poly:amide(s) specific for region near the binding site for transcription factor - for inhibiting replication of pathogen, especially human immune deficiency virus and for treating cancers associated with expression of the her-2/neu oncogene

INVENTOR: BAIRD, E E; DERVAN, P B ; GOTTESFELD, J M ; MOSIER, D E

PRIORITY-DATA: 1997US-058338P (September 10, 1997), 1997US-038384P (February 14, 1997), 1997US-038394P (February 14, 1997), 1997US-0853022 (April 21, 1997), 1997WO-US12722 (July 21, 1997), 1997US-056048P (September 2, 1997), 1996US-0607078 (February 26, 1996), 1996US-023309P (July 31, 1996), 1996US-024374P (August 1, 1996), 1996US-026713P (September 25, 1996), 1997WO-US03332 (February 20, 1997), 1997US-0837524 (April 21, 1997), 1997US-0853525 (May 8, 1997), 1996US-0607708 (February 26, 1996), 1999US-0434290 (November 5, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 9835702 A1	August 20, 1998	E	112	A61K047/48
AU 749953 B	July 4, 2002		000	A61K047/48
AU 9861517 A	September 8, 1998		000	
EP 964703 A1	December 22, 1999	E	000	
US 5998140 A	December 7, 1999		025	C12Q001/68
US 6143901 A	November 7, 2000		000	C07D231/02
US 6303312 B1	October 16, 2001		000	C12Q001/68
JP 2002515057 W	May 21, 2002		118	A61K031/4178

INT-CL (IPC): A61 K 31/4178; A61 K 31/787; A61 K 38/00; A61 K 47/48; A61 P 31/04; A61 P 31/10; A61 P 31/12; A61 P 31/18; A61 P 35/00; C07 D 231/02; C07 D 403/02; C07 D 403/14; C12 N 15/09; C12 P 19/44; C12 Q 1/68

ABSTRACTED-PUB-NO: US 6143901A

BASIC-ABSTRACT:

Modulating expression of cellular and viral genes (I) comprises: (a) identifying a unique target DNA sequence (A) adjacent to the binding site of a minor groove transcription factor protein (MGTFP); (b) choosing a polyamide (II) having subnanomolar affinity for (A) and (c) treating (A) with (II) as transcription inhibitor. Also new are (1) inhibiting replication of a pathogen by administering a transcription-inhibiting (II); (2) improving binding affinity of (II), selected for an identified viral DNA target by replacing a carboxamide binding pair (CBP) that does not include N-methylimidazole carboxamide (IM) with a CBP comprising paired beta -alanine (beta) residues; (3) inhibiting binding of the zinc finger protein TFIIIA to the 5S ribosomal RNA gene internal control region; (4) method for treating adenocarcinoma of ovary, endometrium, breast, fallopian tubes and cervix with (II).

USE - Method (1) is applied to viruses, bacteria, fungi and protozoa, especially human immune deficiency virus (HIV)-1, both therapeutically and for treating blood cells in vitro. Method (4) is especially used against cancers that overexpress the her-2/neu oncogene. Also described (not claimed) is use of (II) for diagnosis of disease (e.g. where labelled); very generally as therapeutic agents for any disease involving cellular or viral gene transcription; for genomic sequencing; for DNA capture and for DNA cleavage (oxidative or by light).

ADVANTAGE - (II) has excellent specificity and very high affinity for (A), which are specific for particular genes, and are cell permeable.

ABSTRACTED-PUB-NO:

US 6303312B EQUIVALENT-ABSTRACTS:

Modulating expression of cellular and viral genes (I) comprises: (a) identifying a unique target DNA sequence (A) adjacent to the binding site of a minor groove transcription factor protein (MGTFP); (b) choosing a polyamide (II) having subnanomolar affinity for (A) and (c) treating (A) with (II) as transcription inhibitor. Also new are (1) inhibiting replication of a pathogen by administering a

transcription-inhibiting (II); (2) improving binding affinity of (II), selected for an identified viral DNA target by replacing a carboxamide binding pair (CBP) that does not include N-methylimidazole carboxamide (IM) with a CBP comprising paired beta -alanine (beta) residues; (3) inhibiting binding of the zinc finger protein TFIIIA to the 5S ribosomal RNA gene internal control region; (4) method for treating adenocarcinoma of ovary, endometrium, breast, fallopian tubes and cervix with (II).

USE - Method (1) is applied to viruses, bacteria, fungi and protozoa, especially human immune deficiency virus (HIV)-1, both therapeutically and for treating blood cells in vitro. Method (4) is especially used against cancers that overexpress the her-2/neu oncogene. Also described (not claimed) is use of (II) for diagnosis of disease (e.g. where labelled); very generally as therapeutic agents for any disease involving cellular or viral gene transcription; for genomic sequencing; for DNA capture and for DNA cleavage (oxidative or by light).

ADVANTAGE - (II) has excellent specificity and very high affinity for (A), which are specific for particular genes, and are cell permeable.

Modulating expression of cellular and viral genes (I) comprises: (a) identifying a unique target DNA sequence (A) adjacent to the binding site of a minor groove transcription factor protein (MGTFP); (b) choosing a polyamide (II) having subnanomolar affinity for (A) and (c) treating (A) with (II) as transcription inhibitor. Also new are (1) inhibiting replication of a pathogen by administering a transcription-inhibiting (II); (2) improving binding affinity of (II), selected for an identified viral DNA target by replacing a carboxamide binding pair (CBP) that does not include N-methylimidazole carboxamide (IM) with a CBP comprising paired beta -alanine (beta) residues; (3) inhibiting binding of the zinc finger protein TFIIIA to the 5S ribosomal RNA gene internal control region; (4) method for treating adenocarcinoma of ovary, endometrium, breast, fallopian tubes and cervix with (II).

USE - Method (1) is applied to viruses, bacteria, fungi and protozoa, especially human immune deficiency virus (HIV)-1, both therapeutically and for treating blood cells in vitro. Method (4) is especially used against cancers that overexpress the her-2/neu oncogene. Also described (not claimed) is use of (II) for diagnosis of disease (e.g. where labelled); very generally as therapeutic agents for any disease involving cellular or viral gene transcription; for genomic sequencing; for DNA capture and for DNA cleavage (oxidative or by light).

ADVANTAGE - (II) has excellent specificity and very high affinity for (A), which are specific for particular genes, and are cell permeable.

WO 9835702A

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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L1 with (polyamide or pseudopeptide)	26

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Search Results - Record(s) 1 through 3 of 3 returned.☐ 1. Document ID: US 20020169296 A1

L4: Entry 1 of 3

File: PGPB

Nov 14, 2002

PGPUB-DOCUMENT-NUMBER: 20020169296

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020169296 A1

TITLE: Linked, sequence-specific DNA-binding molecules

PUBLICATION-DATE: November 14, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Laemmlli, Ulrich	Onex	MD	CH	
Janssen, Samuel	Owings Mills		US	

US-CL-CURRENT: 536/23.1

ABSTRACT:

The present invention concerns a DNA-binding molecule, capable of sequence-specific binding to the minor groove of double-stranded DNA, characterized in that it comprises at least two sequence specific DNA-binding elements, covalently linked to each other in tandem orientation by an amphipathic, flexible linker molecule, at least one of said DNA binding elements being non-proteinaceous.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Drawn Desc	Image								

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☐ 2. Document ID: US 20020001813 A1

L4: Entry 2 of 3

File: PGPB

Jan 3, 2002

PGPUB-DOCUMENT-NUMBER: 20020001813

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020001813 A1

TITLE: Gel pad arrays and methods and systems for making them

PUBLICATION-DATE: January 3, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Taylor, Seth	Cambridge	MA	US	
Crocker, Kevin	Cheshire	CT	US	
Weber, Shane	Woodbridge	CT	US	

US-CL-CURRENT: 435/6; 435/287.2

ABSTRACT:

Gel pads and gel pad arrays, and methods for making and using them, are disclosed. The gel pads preferably comprise an intelligent gel.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	RWC
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☐ 3. Document ID: WO 200204476 A2 AU 200182070 A

L4: Entry 3 of 3

File: DWPI

Jan 17, 2002

DERWENT-ACC-NO: 2002-216950

DERWENT-WEEK: 200234

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TITLE: Novel DNA-binding molecule capable of sequence specific binding to minor groove of double-stranded DNA, useful in therapy, comprises two sequence specific DNA-binding elements linked to each other by linker molecule

INVENTOR: JANSSEN, S; LAEMMLI, U

PRIORITY-DATA: 2000US-0614036 (July 11, 2000)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 200204476 A2	January 17, 2002	E	111	C07H021/00
AU 200182070 A	January 21, 2002		000	C07H021/00

INT-CL (IPC): C07 H 21/00

ABSTRACTED-PUB-NO: WO 200204476A

BASIC-ABSTRACT:

NOVELTY - A DNA-binding molecule (I), capable of sequence specific binding to the minor groove of double-stranded DNA, and comprising at least two sequence specific DNA-binding elements, covalently linked to each other in tandem orientation by an amphipathic, flexible linker molecule, where at least one of the DNA binding elements is non-proteinaceous, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (1) a cell (II) containing (I);
- (2) a non-human organism (III) comprising (II); and
- (3) a pharmaceutical composition (IV) comprising (I).

ACTIVITY - None given.

MECHANISM OF ACTION - Modulator of chromosome function in eukaryotic cell; modulator of function of a DNA element in eukaryotic cell (claimed).

Specific inhibition of chromosomes condensation by a DNA-binding molecule was tested: Mitotic Xenopus egg extracts converted added nuclei and sperm to chromatids in vitro. Chromosome condensation process required topoisomerase II, a protein complex condensin and presumably other unidentified activities present in the mitotic extract. First, chromatin was remodeled and nuclei then proceeded quite synchronously through a number of morphologically distinguishable steps. Pyrrole drugs were added to the extract together with the sperm or after remodeling step and the extent of condensation was determined after 120 minutes. At this time point, the conversion of all sperm nuclei to

clusters of individual chromatids was complete in the absence of drug. Lex10 was found to be a potent inhibitor of chromosome condensation. Addition of this compound at 125-250 nM arrested this process at the so-called early ruffle stage. These structures retained the swollen sperm shape, but they had peripheral blebs (ruffles) and a slightly heterogeneous interior. At this drug concentration, no chromatids were seen. If the concentration of Lex10 was raised to 500 nM, an even earlier arrest was observed as evidenced by the accumulation of swollen, remodeled sperm-shaped nuclei containing a homogeneous interior and smooth periphery.

USE - (I) is useful for binding double-stranded DNA in a sequence-specific manner, for modulating chromosome function or function of a DNA element in eukaryotic cell, for therapy, for probing the epigenetic state and location of DNA in chromosomes and nuclei, for the diagnosis of pathological conditions arising from epigenetic status, and for chromosome visualization and marking in diagnosis, forensic studies, affiliation studies or animal husbandry (claimed). (I) is useful for the treatment of disorders of genetic origin.

ADVANTAGE - (I) has the capacity to bind in a sequence specific manner to a DNA recognition sequence of at least 6, preferably 14 base pairs in length. (I) has a molecular weight of no greater than 8 kDa, binds to the DNA minor group, is cell permeable, and has an apparent binding affinity of at least 5 multiply 10⁷ M⁻¹, preferably 5 multiply 10¹⁰ M⁻¹. (All claimed). (I) has high affinity, specificity, and binding-site size. (I) is small, and cell-permeable, greatly facilitating their administration as drugs, etc. (I) has enhanced solubility in aqueous media compared to polyamide multimers containing hydrophobic linkers.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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L3 with dna with bind\$4	3

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Search Results - Record(s) 1 through 1 of 1 returned.☐ 1. Document ID: WO 200200262 A2 AU 200179744 A

L8: Entry 1 of 1

File: DWPI

Jan 3, 2002

DERWENT-ACC-NO: 2002-179573

DERWENT-WEEK: 200235

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TITLE: Modulation of the function of a DNA in a eukaryotic cell for the treatment of a genetic disorder e.g. Wilm's tumor, comprises contacting a genomic DNA element with a compound capable of binding in a sequence-specific manner to the DNA

INVENTOR: LAEMMLI, U

PRIORITY-DATA: 2000US-0603647 (June 26, 2000)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 200200262 A2	January 3, 2002	E	015	A61K047/48
AU 200179744 A	January 8, 2002		000	A61K047/48

INT-CL (IPC): A61 K 47/48

ABSTRACTED-PUB-NO: WO 200200262A

BASIC-ABSTRACT:

NOVELTY - Modulating the function of a DNA element in a eukaryotic cell comprises contacting a genomic DNA element (chromatin responsive element (CRE)) with a compound (I) in conditions to permit chromatin remodeling of the CRE.

DETAILED DESCRIPTION - Modulating the function of a DNA element in a eukaryotic cell comprises contacting a genomic DNA element (chromatin responsive element (CRE)) with a compound (I) in conditions to permit chromatin remodeling of the CRE, where (I) has a molecular weight of less than approx. 5 KDa, and is capable of binding to the CRE in a sequence-specific manner, and the chromatin remodeling of the CRE alters the activity of another DNA element (modulated DNA element) in the genome.

INDEPENDENT CLAIMS are included for the following:

- (1) modulating the epigenetic state of a heterologous gene in a cell (C1) involving:
 - (a) transforming the cell with a nucleic acid sequence comprising the heterologous gene, and with a nucleic acid sequence comprising heterologous CRE; and
 - (b) introducing (I) into the cell;
- (2) a gene expression kit for modulating the epigenetic state of a heterologous gene in a cell comprising:
 - (i) a nucleic acid molecule comprising the heterologous gene;
 - (ii) a nucleic acid molecule comprising CRE; and
 - (iii) (I);
- (3) a cell (C2) containing (I), where the cell is a eukaryotic cell that is a non-human

organism cell (preferably a transgenic animal cell or a transgenic plant cell);

(4) a non-human organism comprising (C2);

(5) a compound (I) having the capacity to bind, in a sequence-specific manner, to a predetermined CRE, the CRE being a sequence whose chromatin status allows modulation of chromosome function in cis or in trans, provided that the compound is not distamycin, 3-Hydroxy-3-methylglutaryl (HMG)-I/Y or MATH20 (not defined);

(6) a compound (I) having a molecular weight less than 5 kDa and having the capacity to bind, in a sequence-specific manner, to a predetermined CRE, the CRE being a sequence whose chromatin status allows modulation of chromosome function in cis or trans, the compound having the capacity to specifically recognize a sequence of 6 nucleotides;

(7) an association of pharmaceutical compositions comprising:

(a) a first pharmaceutical composition containing (i) and (ii) of (2) in association with the excipient; and

(b) a second pharmaceutical composition comprising (I) in association with the excipient;

(8) a DNA-binding compound capable of sequence specific binding to genomic DNA, the compound being an oligomer comprising cyclic heterocycles with an annular nitrogen, and optionally an aliphatic amino acid residue, where the compound is fluorescent or fluorescently labeled; and

(9) identifying CREs in a genome comprising:

(a) contacting a genomic DNA containing a DNA element whose function is to be modulated, with a series of (I) having the capacity to bind in a sequence specific manner to DNA elements situated upstream, downstream or within the DNA element to be modulated; and

(b) selecting those compounds capable of modulating the epigenetic state of the DNA element to be modulated by using chromatin probes such as nucleases.

ACTIVITY - Cytostatic. No suitable biological data is given.

MECHANISM OF ACTION - Topoisomerase II inhibitor; gene therapy.

USE - (I) is used:

(1) to modulate the function of a DNA element in a eukaryotic cell;

(2) in the preparation of a medicament for the treatment of genetic disorders e.g. fragile X syndrome, Prader-Willi syndrome or Wilm's tumor arising from epigenetic status;

(3) for probing the epigenetic state and location of DNA in chromosomes and nuclei;

(4) for the diagnosis or pre-symptomatic diagnosis of pathological conditions arising from epigenetic status; and

(5) for chromosome visualization and marking in diagnosis, forensic studies, affiliation studies or animal husbandry.

A kit comprising (I) is useful in gene therapy such as non-therapeutic modulation of expression of heterologous genes in eukaryotic cells, in a cell culture, transgenic animal or transgenic plants (all claimed).

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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